

WE CLAIM:

1. An erodible, gastric-retentive drug dosage form for administering a pharmacologically active agent to the stomach, duodenum, and upper small intestine of a patient, the dosage form comprising the pharmacologically active agent incorporated in a matrix of at least one biocompatible, hydrophilic polymer that (a) swells in the presence of water in gastric fluid such that the size of the dosage form is sufficiently increased to provide gastric retention in the stomach of a patient in whom the fed mode has been induced, (b) gradually erodes within the gastrointestinal tract over a determinable time period, and (c) releases the active agent throughout the determinable time period, wherein the dosage form is formulated so as to provide an active agent release profile *in vivo* that corresponds to a desired active agent release profile obtained for the dosage form *in vitro* using USP disintegration test equipment.

2. The dosage form of claim 1, wherein a first fraction of the active agent is released from the dosage form by diffusing out of the polymer matrix as a result of (a) and a second fraction of the active agent is released from the dosage form by erosion of the polymer matrix during (b).

3. The dosage form of claim 2, wherein the second fraction is greater than the first fraction.

4. The dosage form of claim 3, wherein at least 75 wt.% of the active agent is released within the determinable time period.

5. The dosage form of claim 4, wherein at least 85 wt.% of the active agent is released within the determinable time period.

6. The dosage form of claim 1, wherein the at least one biocompatible hydrophilic polymer is selected from the group consisting of: polyalkylene oxides; cellulosic polymers; acrylic acid and methacrylic acid polymers, and esters thereof; maleic anhydride polymers; polymaleic acid; poly(acrylamides); poly(olefinic alcohol)s; poly(N-vinyl lactams); polyols; polyoxyethylated saccharides; polyoxazolines; polyvinylamines; polyvinylacetates; polyimines; starch and starch-based polymers; polyurethane hydrogels; chitosan; polysaccharide gums; zein; shellac-based polymers; and copolymers and mixtures thereof.

7. The dosage form of claim 6, wherein the at least one biocompatible hydrophilic polymer is a polyalkylene oxide polymer or copolymer, a cellulosic polymer, a gum, or a mixture thereof.

8. The dosage form of claim 7, wherein the at least one biocompatible hydrophilic polymer is a polyalkylene oxide selected from the group consisting of poly(ethylene oxide), poly(ethylene oxide-co-propylene oxide), and mixtures thereof.

9. The dosage form of claim 8, wherein the at least one biocompatible hydrophilic polymer is poly(ethylene oxide) optionally in admixture with poly(ethylene oxide-co-propylene oxide).

10. The dosage form of claim 6, wherein the at least one biocompatible hydrophilic polymer is a cellulosic polymer selected from the group consisting of hydroxymethylcellulose, hydroxyethylcellulose, hydroxypropylcellulose, hydroxypropyl methylcellulose, carboxymethylcellulose, and mixtures thereof.

11. The dosage form of claim 6, wherein the at least one biocompatible hydrophilic polymer is xanthan gum.

12. The dosage form of claim 1, wherein the at least one biocompatible hydrophilic polymer has a number average molecular weight in the range of approximately 5,000 and 20,000,000.

5 13. The dosage form of claim 1, wherein the weight ratio of the active agent to the biocompatible hydrophilic polymer is in the range of about 1:500 to about 85:15.

14. The dosage form of claim 13, wherein the weight ratio of the active agent to the biocompatible hydrophilic polymer is in the range of about 5:95 to about 80:20.

10

15. The dosage form of claim 14, wherein the weight ratio of the active agent to the biocompatible hydrophilic polymer is in the range of about 30:70 to about 80:20.

15

16. The dosage form of claim 15, wherein the weight ratio of the active agent to the biocompatible hydrophilic polymer is in the range of about 30:70 to about 70:30.

17. The dosage form of claim 1, wherein at least one of the biocompatible hydrophilic polymers is crosslinked.

20

18. The dosage form of claim 1, wherein the active agent has an aqueous solubility of less than about 25 wt.% at 20°C.

19. The dosage form of claim 18, wherein the active agent has an aqueous solubility of less than about 10 wt.% at 20°C.

25

20. The dosage form of claim 19, wherein the active agent has an aqueous solubility of less than about 5 wt.% at 20°C.

21. The dosage form of claim 1, wherein the active agent has a molecular weight greater than 300 daltons.

22. The dosage form of claim 18, wherein the at least one biocompatible
5 hydrophilic polymer has a number average molecular weight in the range of about 10,000 to 8,000,000.

23. The dosage form of claim 18, wherein the active agent is selected from the group consisting of topiramate, nifedipine, acyclovir, alprazolam, phenytoin,
10 carbamazepine, ranitidine, cimetidine, famotidine, clozapine, nizatidine, omeprazole, gemfibrozil, lovastatin, nitrofurantoin, losartan, docetaxel and paclitaxel.

24. The dosage form of claim 23, wherein the active agent is topiramate.

15 25. The dosage form of claim 23, wherein the active agent is paclitaxel.

26. The dosage form of claim 18, wherein the active agent is a *Helicobacter pylori* eradicator.

20 27. The dosage form of claim 26, wherein said eradicator is selected from the group consisting of bismuth subsalicylate, bismuth citrate, amoxicillin, tetracycline, minocycline, doxycycline, clarithromycin, thiamphenicol, metronidazole, omeprazole, ranitidine, cimetidine, famotidine and combinations thereof.

25 28. The dosage form of claim 27, wherein said eradicator is bismuth subsalicylate.

29. The dosage form of claim 1, wherein the active agent is contained within a vesicle.

30. The dosage form of claim 29, wherein the active agent is water soluble but rendered sparingly water soluble by the vesicle.

31. The dosage form of claim 30, wherein the vesicle is selected from the group consisting of liposomes, nanoparticles, proteinoid and amino acid microspheres, and pharmacosomes.

32. The dosage form of claim 31, wherein the vesicle is comprised of a nanoparticle.

33. The dosage form of claim 32, wherein the nanoparticle is a nanosphere, a nanocrystal, or a nanocapsule.

34. The dosage form of claim 30, wherein the active agent is selected from the group consisting of metformin hydrochloride, vancomycin hydrochloride, captopril, erythromycin lactobionate, ranitidine hydrochloride, sertraline hydrochloride, ticlopidine hydrochloride, amoxicillin, cefuroxime axetil, cefaclor, clindamycin, doxifluridine, tramadol, fluoxetine hydrochloride, ciprofloxacin hydrochloride, ganciclovir, bupropion, lisinopril, minocycline, doxycycline, and esters of ampicillin.

35. The dosage form of claim 34, wherein the active agent is metformin hydrochloride.

36. The dosage form of claim 34, wherein the active agent is ciprofloxacin hydrochloride.

37. The dosage form of claim 1, wherein the active agent is enterically coated.

38. The dosage form of claim 37, wherein the active agent is water soluble but rendered sparingly water soluble by said vesicle.

39. The dosage form of claim 1, wherein the dosage form is comprised of a tablet.

5

40. The dosage form of claim 1, wherein the dosage form is comprised of a capsule.

41. A method for selecting an optimized controlled release dosage form for administration to a patient such that the dosage form will have a predetermined drug release profile *in vivo*, the method comprising:

10

(a) preparing a plurality of different candidate dosage forms each comprised of a biocompatible, hydrophilic polymer and a pharmacologically active agent incorporated therein;

15

(b) obtaining the *in vitro* drug release profile for each candidate dosage form in an aqueous medium in a USP disintegration tester;

(c) comparing the *in vitro* drug release profiles obtained in (b), and determining which of the *in vitro* drug release profiles correlates most closely with a desired *in vivo* drug release profile; and

20

(d) selecting the dosage form having the determined *in vitro* drug release profile for administration to a patient.

42. The method of claim 41, wherein the candidate dosage forms are all comprised of the same biocompatible, hydrophilic polymer but differ with respect to the amount or molecular weight thereof.

25

43. The method of claim 41, wherein the candidate dosage forms all contain the same pharmacologically active agent but differ with respect to the amount thereof.

44. A method for delaying the passage of a pharmacologically active agent through the gastrointestinal tract of a patient, said method comprising orally administering the dosage form of claim 1 to the patient.